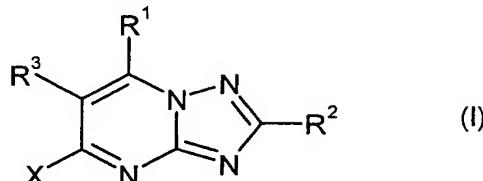


Patent Claims

## 1. Triazolopyrimidines of the formula



5

in which

10            R<sup>1</sup>    represents optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, or optionally substituted heterocyclyl, which is linked via carbon,

15            R<sup>2</sup>    represents hydrogen, halogen, optionally substituted alkyl, or optionally substituted cycloalkyl,

20            R<sup>3</sup>    represents optionally substituted heterocyclyl,

25            X      represents halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted alkylthio, optionally substituted alkylsulphinyl, or optionally substituted alkylsulphonyl.

20

## 2. Triazolopyrimidines of the formula (I) according to Claim 1, in which

20            R<sup>1</sup>    represents alkyl having 1 to 6 carbon atoms, which may be substituted one to five times, identically or differently, by halogen, cyano, hydroxy, alkoxy having 1 to 4 carbon atoms, tri(C<sub>1</sub>-C<sub>4</sub> alkyl)silyl and/or cycloalkyl having 3 to 6 carbon atoms, which may be substituted one to three times, identically or differently by halogen, halogenalkyl having 1 or 2 carbon atoms and 1 to 5 halogen atoms and/or alkyl having 1 to 4 carbon atoms, or

30            R<sup>1</sup>    represents alkenyl having 2 to 6 carbon atoms, which may be substituted one to three times, identically or differently by halogen, cyano, hydroxy, alkoxy having 1 to 4 carbon atoms, tri(C<sub>1</sub>-C<sub>4</sub> alkyl)silyl and/or cycloalkyl having 3 to 6 carbon atoms, which may be substituted one to three times, identically or differently by

halogen, halogenalkyl having 1 or 2 carbon atoms and 1 to 5 halogen atoms and/or alkyl having 1 to 4 carbon atoms, or

5           R<sup>1</sup>   represents alkynyl having 3 to 6 carbon atoms, which may be substituted one to three times, identically or differently by halogen, cyano, alkoxy having 1 to 4 carbon atoms, tri(C<sub>1</sub>-C<sub>4</sub> alkyl)silyl and/or cycloalkyl having 3 to 6 carbon atoms, which may be substituted one to three times, identically or differently by halogen, halogenalkyl having 1 or 2 carbon atoms and 1 to 5 halogen atoms and/or alkyl having 1 to 4 carbon atoms, or

10           R<sup>1</sup>   represents cycloalkyl having 3 to 6 carbon atoms, which may be substituted one to three times, identically or differently by halogen, halogenalkyl having 1 or 2 carbon atoms and 1 to 5 halogen atoms and/or alkyl having 1 to 4 carbon atoms, or

15           R<sup>1</sup>   represents cycloalkenyl having 3 to 6 carbon atoms, which may be substituted one to three times, identically or differently by halogen and/or alkyl having 1 to 4 carbon atoms, or

20           R<sup>1</sup>   represents saturated or unsaturated heterocyclyl, linked via carbon, having 5 or 6 ring members and 1 to 3 heteroatoms, such as nitrogen, oxygen, and/or sulphur, the heterocyclyl able to be substituted once or twice by halogen, alkyl having 1 to 4 carbon atoms, cyano, nitro, alkoxy having 1 to 4 carbon atoms, cycloalkyl having 3 to 6 carbon atoms, halogenalkyl having 1 to 4 carbon atoms and 1 to 9 halogen atoms, and/or halogenalkoxy having 1 to 4 carbon atoms and 1 to 9 halogen atoms

25           R<sup>2</sup>   represents hydrogen, fluorine, chlorine, bromine, iodide, alkyl having 1 to 4 carbon atoms, halogenalkyl having 1 to 4 carbon atoms and 1 to 9 halogen atoms, or cycloalkyl having 3 to 6 carbon atoms,

30           R<sup>3</sup>   represents saturated or unsaturated heterocyclyl having 5 or 6 ring members and 1 to 4 heteroatoms, such as oxygen, nitrogen and/or sulphur, the heterocyclyl being able to be substituted one to four times, identically or differently by fluorine, chlorine, bromine, cyano, nitro,

alkyl, alkoxy, hydroximinoalkyl or alkoximinoalkyl each having 1 to 3 carbon atoms per alkyl part,

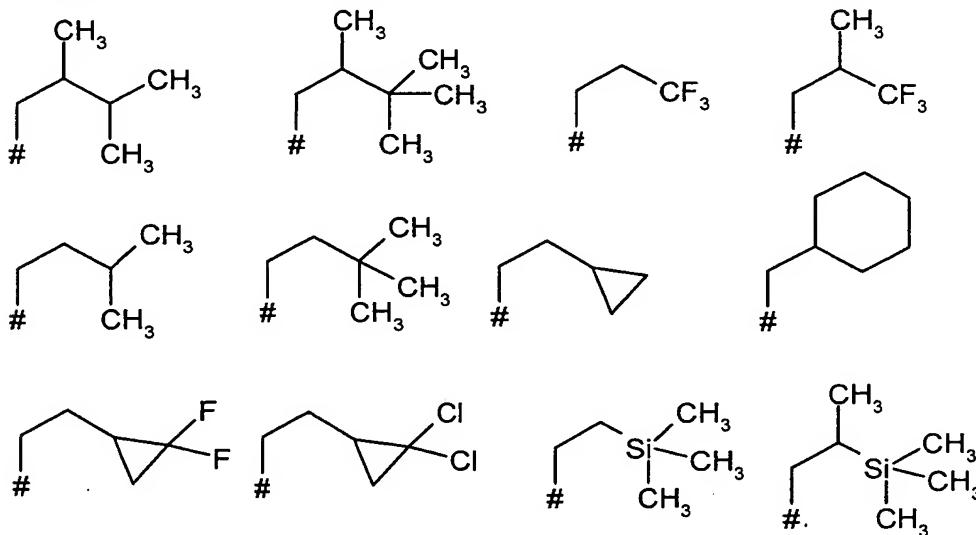
5 halogenalkyl or halogenalkoxy each having 1 to 3 carbon atoms and 1 to 7 halogen atoms,

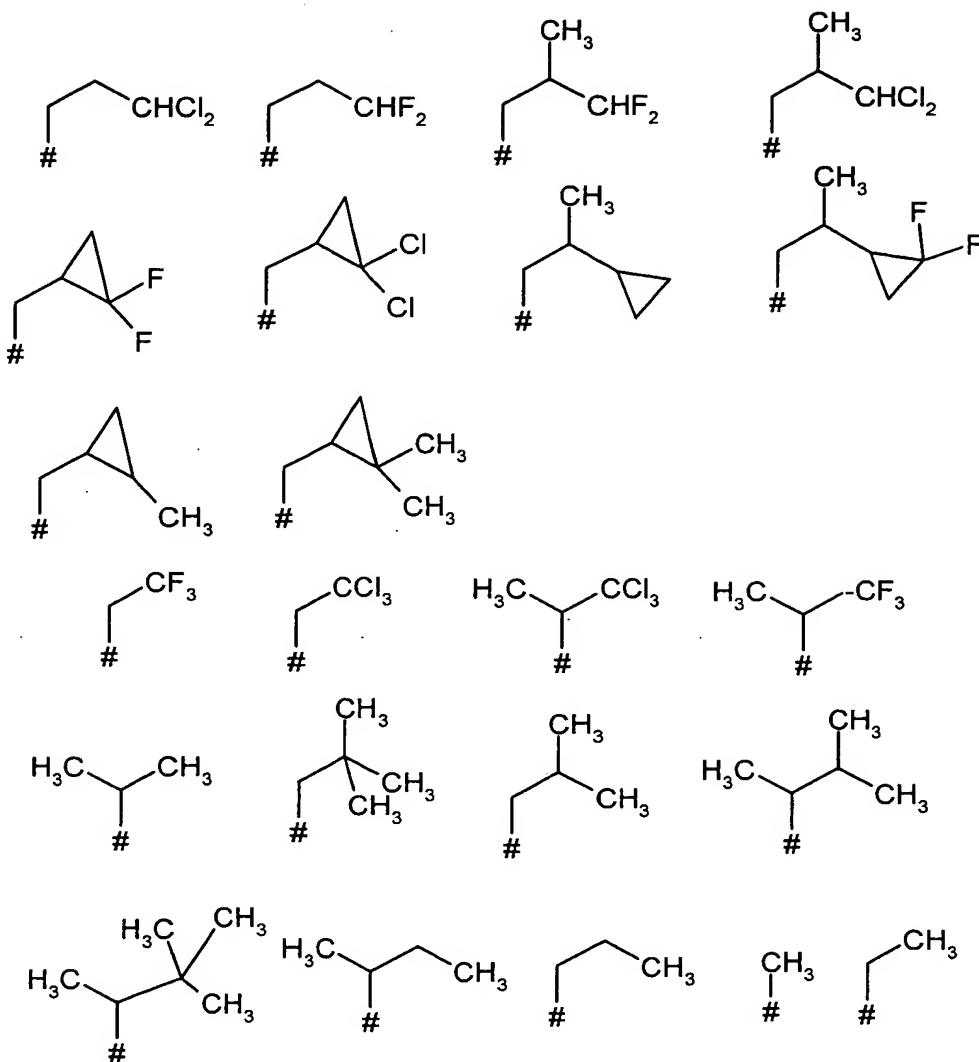
and

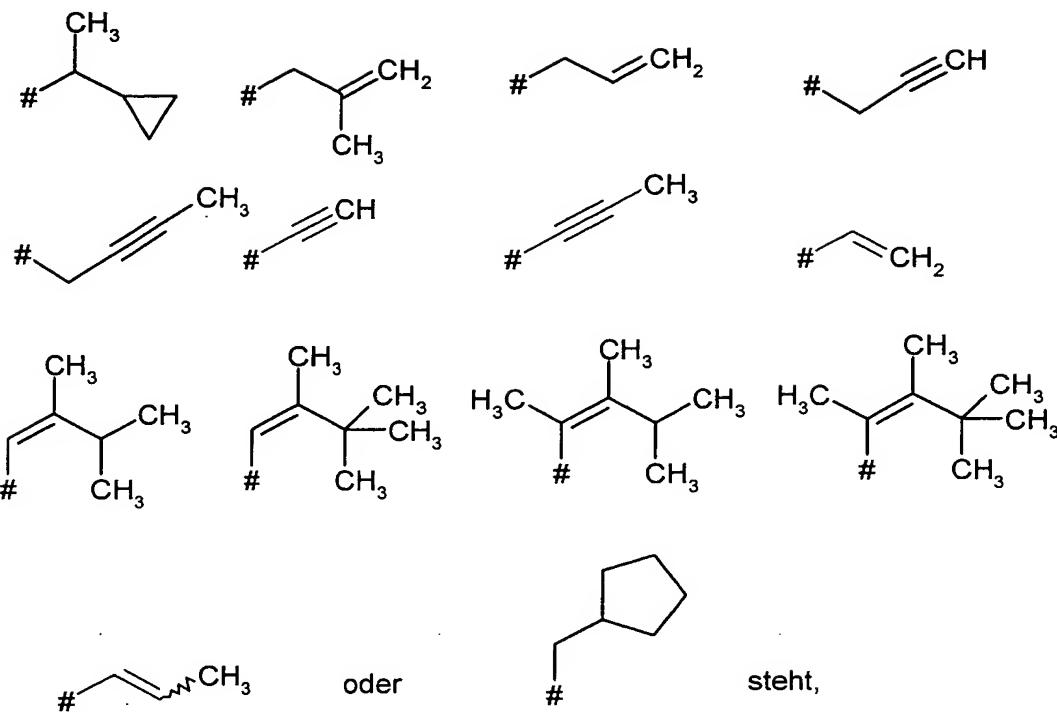
10 X represents fluorine, chlorine, bromine, cyano, alkyl having 1 to 4 carbon atoms, alkoxy having 1 to 4 carbon atoms, alkylthio having 1 to 4 carbon atoms, alkylsulphinyl having 1 to 4 carbon atoms, or alkylsulphonyl having 1 to 4 carbon atoms.

15 3. Triazolopyrimidines of the formula (I) according to Claim 1 or 2, in which

R<sup>1</sup> represents a residue of the formula





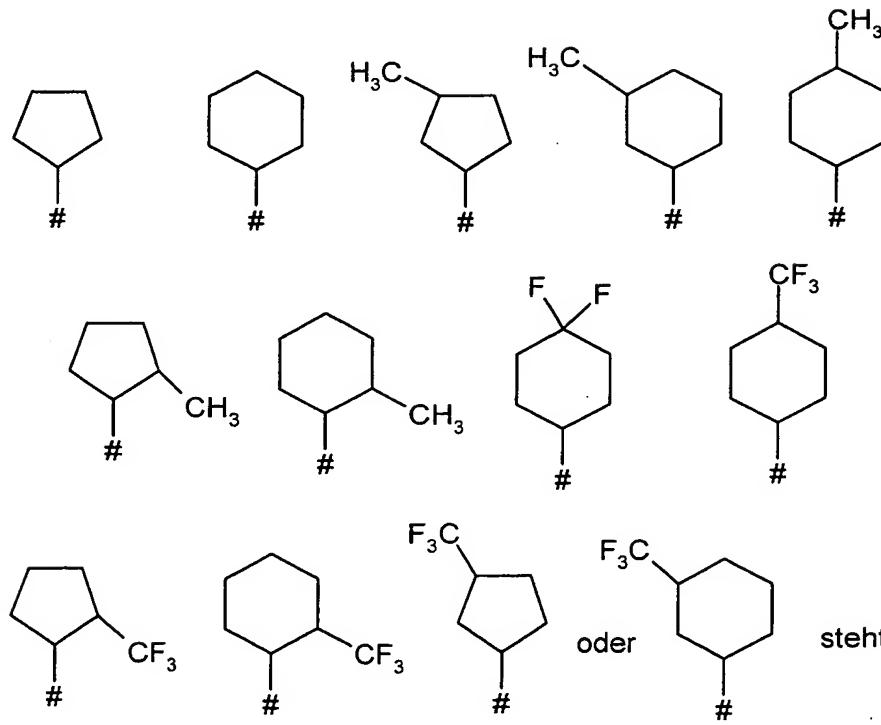


(Key: oder = or  
steht = represents)

5

or

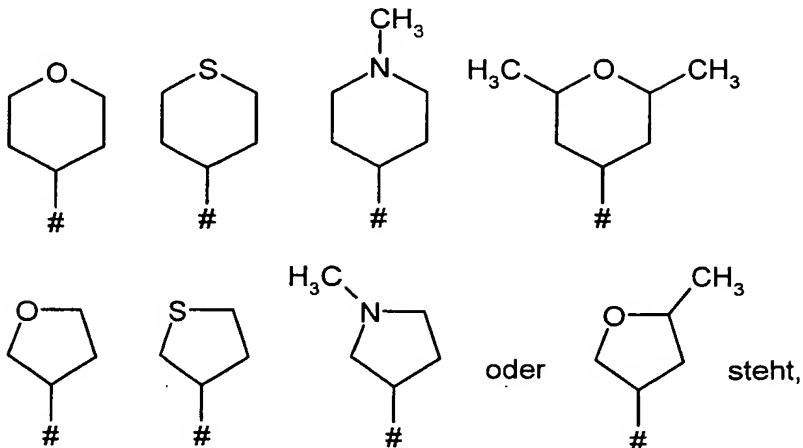
$R^1$  represents a residue of the formula



(Key: oder = or  
steht = represents)

or

5 R<sup>1</sup> represents a residue of the formula



10 (Key: oder = or  
steht = represents)

# marking the linkage point in each case,

15 R<sup>2</sup> represents hydrogen, fluorine, chlorine, bromine, iodide, methyl, ethyl, isopropyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, trifluoromethyl, 1-trifluoromethyl-2,2,2-trifluorethyl, or heptafluoroisopropyl,

20 R<sup>3</sup> represents pyridyl, which is linked in the second or fourth position and may be substituted one to four times, identically or differently, by fluorine, chlorine, bromine, cyano, nitro, methyl, ethyl, methoxy, methylthio, hydroximinomethyl, hydroximinoethyl, methoximinomethyl, methoximinoethyl and/or trifluoromethyl, or

25 R<sup>3</sup> represents pyrimidyl, which is linked in the second or fourth position and may be substituted one to three times, identically or differently, by fluorine, chlorine, bromine, cyano, nitro, methyl, ethyl, methoxy, methylthio, hydroximinomethyl, hydroximinoethyl, methoximinomethyl, methoximinoethyl and/or trifluoromethyl, or

5            R<sup>3</sup> represents thienyl, which is linked in the second or third position and may be substituted one to three times, identically or differently, by fluorine, chlorine, bromine, cyano, nitro, methyl, ethyl, methoxy, methylthio, hydroximinomethyl, hydroximinoethyl, methoximinomethyl, methoximinoethyl and/or trifluoromethyl, or

10            R<sup>3</sup> represents thiazolyl, which is linked in the second, fourth, or fifth position and may be substituted once or twice, identically or differently, by fluorine, chlorine, bromine, cyano, nitro, methyl, ethyl, methoxy, methylthio, hydroximinomethyl, hydroximinoethyl, methoximinomethyl, methoximinoethyl and/or trifluoromethyl,

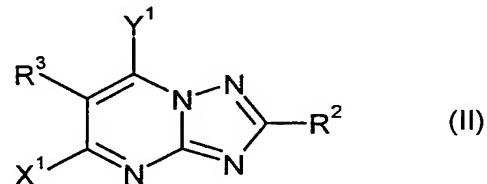
and

15            X represents fluorine, chlorine, bromine, cyano, methyl, methoxy, or methylthio.

4.            A method for producing triazolopyrimidines of the formula (I) according to one of Claims 1 through 3,  
characterized in that

20

(a)            dihalogen triazolopyrimidines of the formula



in which

25            R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> have the meanings specified in Claims 1 through 3,

X<sup>1</sup> represents halogen and

Y<sup>1</sup> represents halogen

30

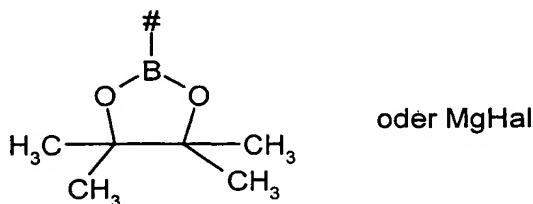
are reacted with metal compounds of the formula (III),



in which

$R^1$  has the meanings specified in Claims 1 through 3

$Me$  represents lithium, dihydroxyboranyl or a residue of the formula



5

(Key: oder = or)

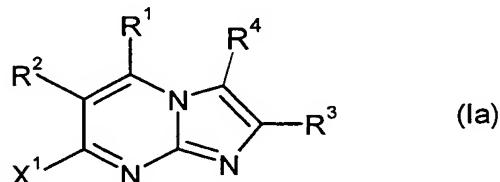
in which

$Hal$  represents chlorine or bromine,

optionally in the presence of a diluent, optionally in the presence of an acid acceptor, and

10

optionally in the presence of a catalyst and the triazolopyrimidines of the formula (Ia) thus obtained are optionally reacted



in which

$R^1$ ,  $R^2$ ,  $R^3$  and  $X^1$  have the meanings specified in Claims 1 through 3,

15

either

α) with compounds of the formula



in which

$R^4$  represents optionally substituted alkoxy, optionally substituted alkylthio, optionally substituted alkylsulphinyl, optionally substituted alkylsulphonyl, or cyano

$Me^1$  represents sodium or potassium,

optionally in the presence of a diluent,

or

25

β) with Grignard compounds of the formula



in which

$R^5$  represents optionally substituted alkyl and

$Hal^1$  represents chlorine or bromine,

in the presence of a diluent.

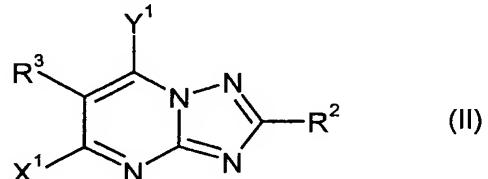
5. Agents for combating undesired micro-organisms,  
characterized in that they contain at least one triazolopyrimidine of the formula (I)  
according to one of Claims 1 through 3, in addition to extenders and/or surfactants.

6. A use of triazolopyrimidines of the formula (I) according to one of Claims 1 through 3 for  
combating undesired micro-organisms.

10 7. A method for combating undesired micro-organisms,  
characterized in that triazolopyrimidines of the formula (I) according to one of Claims 1  
through 3 are applied to the undesired micro-organisms and/or their living space.

8. A method for producing agents for combating undesired micro-organisms,  
15 characterized in that triazolopyrimidines of the formula (I) according to one of Claims 1  
through 3 are mixed with extenders and/or surfactants.

9. Dihalogen triazolopyrimidines of the formula



20 in which

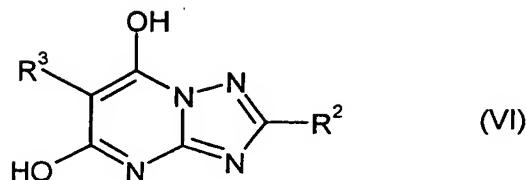
R<sup>2</sup> represents hydrogen, halogen, optionally substituted alkyl or optionally substituted cycloalkyl

R<sup>3</sup> represents optionally substituted heterocyclyl,

25 X<sup>1</sup> represents halogen and

Y<sup>1</sup> represents halogen.

30 10. A method for producing dihalogen triazolopyrimides of the formula (II) according to  
Claim 9, characterized in that  
(b) dihydroxy triazolopyrimidines of the formula



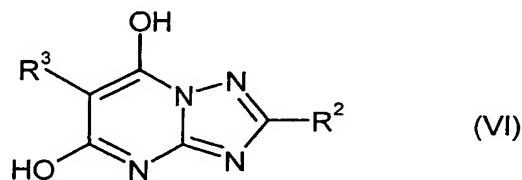
in which

$R^2$  and  $R^3$  which have the meanings specified in Claim 9,

are reacted with halogenation agents, optionally in the presence of a diluent.

5

11. Dihydroxy triazolospyrimidines of the formula



in which

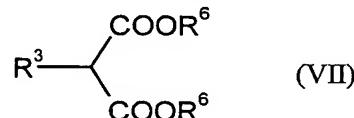
$R^2$  represents hydrogen, halogen, optionally substituted alkyl or optionally substituted cycloalkyl,

10

$R^3$  represents optionally substituted cycloalkyl..

12. A method for producing dihydroxy triazolopyrimidines of the formula (VI) according to  
15 Claim 11, characterized in that

(c) heterocyclyl malonic esters of the formula



in which

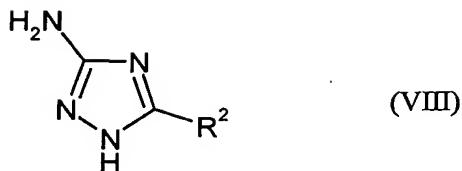
20

$R^3$  has the meaning specified in Claim 11 and

$R^6$  represents alkyl having 1 to 4 carbon atoms,

25

are reacted with aminotriazoles of the formula



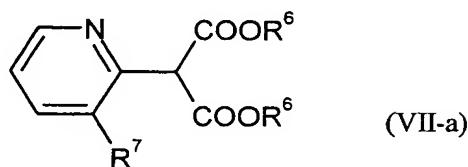
in which

R<sup>2</sup> has the meaning specified in Claim 11,

optionally in the presence of a diluent and optionally in the presence of an acid binder.

5

13. Pyridyl malonic esters of the formula



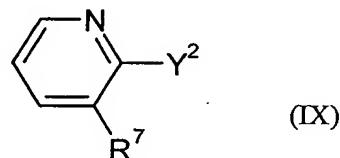
in which

R<sup>6</sup> represents alkyl having 1 to 4 carbon atoms and

10 R<sup>7</sup> represents halogen or halogenalkyl.

14. A method for producing pyridyl malonic esters of the formula (VII-a) according to Claim 13, characterized in that

15 (d) halopyridines of the formula



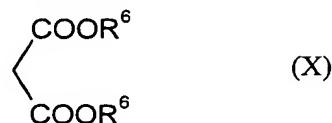
in which

R<sup>7</sup> has the meaning specified in Claim 13 and

20

Y<sup>2</sup> represents halogen,

are reacted with malonic esters of the formula



25

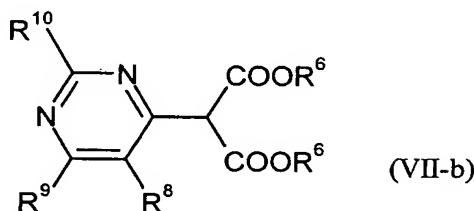
in which

R<sup>6</sup> has the meaning specified in Claim 13,

optionally in the presence of a diluent, optionally in the presence of a copper salt, and  
optionally in the presence of an acid acceptor.

5

15. Pyrimidyl malonic esters of the formula



in which

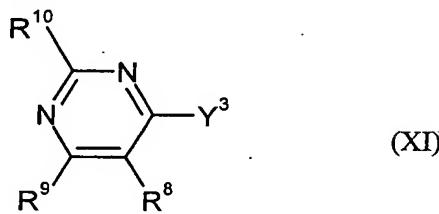
10 R<sup>6</sup> represents alkyl having 1 to 4 carbon atoms,

R<sup>8</sup> represents halogen or halogenalkyl, and

15 R<sup>9</sup> and R<sup>10</sup> independently of one another, represent hydrogen, fluorine, chlorine,  
bromine, methyl, ethyl or methoxy.

16. A method for producing pyrimidyl malonic esters of the formula (VII-b) according to  
Claim 15, characterized in that

20 (e) halopyrimidines of the formula

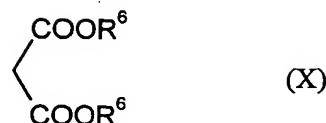


in which

25 R<sup>8</sup>, R<sup>9</sup> and R<sup>10</sup> have the meanings specified in Claim 15 and

Y<sup>3</sup> represents halogen,

are reacted with malonic esters of the formula



in which

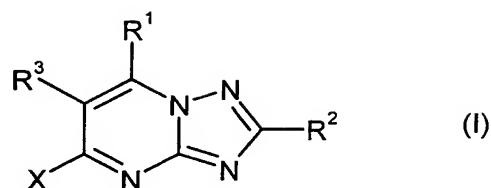
$\text{R}^6$  has the meaning specified in Claim 15,

5

optionally in the presence of a diluent, optionally in the presence of a copper salt, and  
optionally in the presence of an acid acceptor.

Triazolopyrimidines**Abstract**

New triazolopyrimidines of the formula

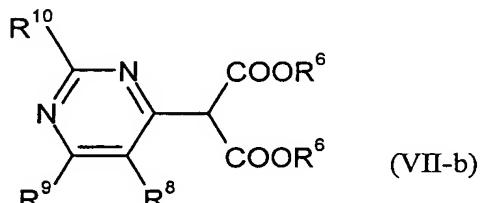
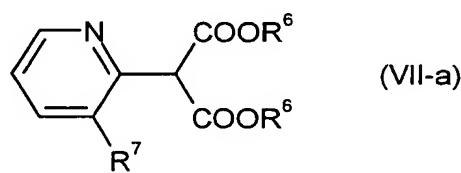
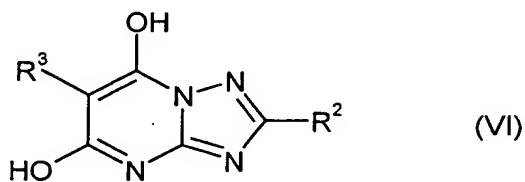
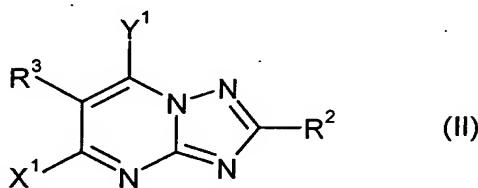


in which

R¹, R², R³ and X have the meanings specified in the description,

a method for producing these materials and their use for combating undesired micro-organisms.

New intermediate products of the formulas



and

and methods for producing these materials.